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TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *
                     Welcome to STN International
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NEWS
NEWS
         OCT 04
                 Precision of EMBASE searching enhanced with new
                 chemical name field
NEWS
        OCT 06
                 Increase your retrieval consistency with new formats
                 for Taiwanese application numbers in CA/CAplus.
NEWS
         OCT 21
                 CA/CAplus kind code changes for Chinese patents
                 increase consistency, save time
NEWS
         OCT 22
                 New version of STN Viewer preserves custom
                 highlighting of terms when patent documents are
                 saved in .rtf format
      6 OCT 28
                 INPADOCDB/INPAFAMDB: Enhancements to the US national
NEWS
                 patent classification.
NEWS
      7 NOV 03
                 New format for Korean patent application numbers in
                 CA/CAplus increases consistency, saves time.
         NOV 04
                 Selected STN databases scheduled for removal on
NEWS
                 December 31, 2010
         NOV 18
                 PROUSDDR and SYNTHLINE Scheduled for Removal
NEWS
      9
                 December 31, 2010 by Request of Prous Science
         NOV 22
                 Higher System Limits Increase the Power of STN
NEWS 10
                 Substance-Based Searching
NEWS 11
         NOV 24
                 Search an additional 46,850 records with MEDLINE
                 backfile extension to 1946
NEWS 12
         DEC 14 New PNK Field Allows More Precise Crossover among STN
                 Patent Databases
         DEC 18 ReaxysFile available on STN
NEWS 13
NEWS 14
         DEC 21
                 CAS Learning Solutions -- a new online training experience
NEWS 15
         DEC 22 Value-Added Indexing Improves Access to World Traditional
                 Medicine Patents in CAplus
                 The new and enhanced DPCI file on STN has been released
NEWS 16
         JAN 24
NEWS 17 JAN 26
                 Improved Timeliness of CAS Indexing Adds Value to
                 USPATFULL and USPAT2 Chemistry Patents
NEWS 18
         JAN 26
                 Updated MeSH vocabulary, new structured abstracts, and
                 other enhancements improve searching in STN reload of
                 MEDLINE
NEWS 19
         JAN 28
                 CABA will be updated weekly
NEWS 20
         FEB 23
                 PCTFULL file on STN completely reloaded
NEWS 21
         FEB 23
                 STN AnaVist Test Projects Now Available for
                 Qualified Customers
NEWS 22
         FEB 25
                 LPCI will be replaced by LDPCI
NEWS 23
         MAR 07
                 Pricing for SELECTing Patent, Application, and Priority
                 Numbers in the USPAT and IFI Database Families is Now
                 Consistent with Similar Patent Databases on STN
```

NEWS EXPRESS 17 DECEMBER 2010 CURRENT WINDOWS VERSION IS V8.4.2 .1, AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2011.

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=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.23 0.23

FULL ESTIMATED COST

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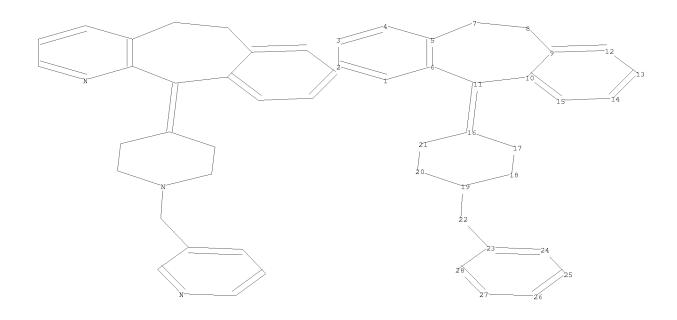
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Documents and Settings\vrodriguezgarcia\My Documents\e-Red Folder\10598846\L1.str



```
chain nodes :
22
ring nodes :
1 \quad \overset{.}{2} \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21 \quad 23 \quad 24
25 26 27 28
chain bonds :
11-16 19-22 22-23
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 9-12 \quad 10-11 \quad 10-15 \quad 12-13
13-14 \quad 14-15 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 20-21 \quad 23-24 \quad 23-28 \quad 24-25 \quad 25-26
26-27 27-28
exact/norm bonds :
5-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 10-11 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 19-22 \quad 20-21
exact bonds :
11-16 22-23
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-12 \quad 10-15 \quad 12-13 \quad 13-14 \quad 14-15 \quad 23-24 \quad 23-28
24-25 25-26 26-27 27-28
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

=> s sam sss 11 SAMPLE SEARCH INITIATED 08:45:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4669 TO 6691
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> file zcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
2.04
2.27

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FILE LAST UPDATED: 12 Apr 2011 (20110412/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2011

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=> s sam sss l1 REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 08:46:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 4669 TO 6691
PROJECTED ANSWERS: 1 TO 80

L3 1 SEA SSS SAM L1

L4 0 L3

=> file registry
COST IN U.S. DOLLARS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.08
2.94

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=> s sam sss 11 SAMPLE SEARCH INITIATED 08:46:41 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

100.0% PROCESSED 284 ITERATIONS 1 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 4669 TO 6691 PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L1

L5 1 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[1-(3-pyridinyl)ethyl]-4-piperidinylidene]-

MF C26 H26 C1 N3

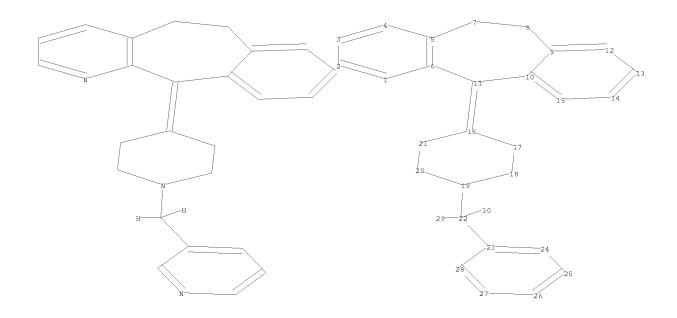
CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=>

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```
chain nodes :
22 29 30
ring nodes :
1 \quad \overset{.}{2} \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21 \quad 23 \quad 24
25 26 27 28
chain bonds :
11-16 19-22 22-23 22-29 22-30
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 9-12 \quad 10-11 \quad 10-15 \quad 12-13
13-14 \quad 14-15 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 20-21 \quad 23-24 \quad 23-28 \quad 24-25 \quad 25-26
26-27 27-28
exact/norm bonds :
5-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 10-11 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 19-22 \quad 20-21
exact bonds :
11-16 22-23 22-29 22-30
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 9-10 \quad 9-12 \quad 10-15 \quad 12-13 \quad 13-14 \quad 14-15 \quad 23-24 \quad 23-28
24-25 25-26 26-27 27-28
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:CLASS

L6 STRUCTURE UPLOADED

=> s sam sss 16

SAMPLE SEARCH INITIATED 08:48:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 284 TO ITERATE

284 ITERATIONS 100.0% PROCESSED 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 4669 TO 6691 PROJECTED ANSWERS: 0 TO

0 SEA SSS SAM L6 L7

=> s full sss 16

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 08:50:32 FILE 'REGISTRY' 5877 TO ITERATE FULL SCREEN SEARCH COMPLETED -

100.0% PROCESSED 5877 ITERATIONS 31 ANSWERS

SEARCH TIME: 00.00.01

31 SEA SSS FUL L6

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SINCE FILE TOTAL ENTRY SESSION 200.43 203.37 COST IN U.S. DOLLARS FULL ESTIMATED COST

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=> s 18 L9 124 L8

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FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 1.84 205.21

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=> d sca 18

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

C1

N

CH2

CO₂H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, nitrate (1:?)

MF C26 H26 Cl N3 . x H N O3

CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2R,3R)-2,3-dihydroxybutanedioate (9CI)
MF C26 H26 C1 N3 . x C4 H6 O6

CM 1

CM 2

Absolute stereochemistry.

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(1-oxido-3-pyridinyl)methyl]-4-piperidinylidene]-

MF C25 H24 C1 N3 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(6-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrochloride (1:3)

MF C26 H26 Cl N3 . 3 Cl H

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11Hbenzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]methyl]-,
methyl ester

MF C27 H26 C1 N3 O2

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, sulfate (1:?)

MF C26 H26 Cl N3 . x H2 O4 S

CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

MF C25 H23 Br Cl N3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 31 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(2-methyl-3-pyridinyl)methyl]-4piperidinylidene]-

MF C26 H26 C1 N3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2011

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=> d his

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(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)
     FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011
                STRUCTURE UPLOADED
T.1
L2
              1 S SAM SSS L1
     FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011
                S L1
     FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011
L3
              1 S L1 SSS SAM
     FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011
L4
              0 S L3 SSS SAM
     FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011
L5
              1 S SAM SSS L1
                STRUCTURE UPLOADED
L6
L7
              0 S SAM SSS L6
Γ8
             31 S FULL SSS L6
     FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011
L9
            124 S L8
     FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011
     FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011
=> s 19 and (crystal or crystalline)
       1632422 CRYSTAL
        765969 CRYSTALS
       1962260 CRYSTAL
                 (CRYSTAL OR CRYSTALS)
         98110 CRYSTALLINE
           343 CRYSTALLINES
         98423 CRYSTALLINE
                 (CRYSTALLINE OR CRYSTALLINES)
        419323 CRYST
          1805 CRYSTS
        420595 CRYST
                 (CRYST OR CRYSTS)
        454916 CRYSTALLINE
                 (CRYSTALLINE OR CRYST)
L10
             4 L9 AND (CRYSTAL OR CRYSTALLINE)
=> d ibib abs hitstr 1-4
THE ESTIMATED COST FOR THIS REQUEST IS 23.84 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:v
L10 ANSWER 1 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN
                         2008:1521725 ZCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         150:84138
TITLE:
                         Quality control method of rupatadine fumarate
INVENTOR(S):
                         Peng, Hongwei; Yang, Wei; Zhao, Bin; Zeng, Yujian;
                         Zhao, Haifeng; Dong, Zhaoyong
PATENT ASSIGNEE(S):
                         Guangdong Kanghong Pharmaceutical Co., Ltd., Peop.
                         Rep. China
SOURCE:
                         Faming Zhuanli Shenqing Gongkai Shuomingshu, 39pp.
                         CODEN: CNXXEV
DOCUMENT TYPE:
                         Patent
```

Chinese

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.		KIND	DATE	A)	PPLICA	TION NO.		DATE
	CN 10132455	1	A	200812	217 CI	1 2007	-10028542		20070612
PRIO:	RITY APPLN.	INFO.:			CI	1 2007	-10028542		20070612
AB	Rupatadine	fumarate	is a	kind of	anti-al.	lergic	medicine	with	antihistami

AB Rupatadine fumarate is a kind of anti-allergic medicine with antihistamine effect and antagonistic activity to platelet activating factor, and can be used for treating allergic rhinitis. The title quality control method of rupatadine fumarate comprises of: (1) part of or whole character inspection of appearance, hygroscopicity, solubility and m.p., (2) functional group identification via high performance liquid chromatog. and/or IR spectroscopic anal., (3) part of or whole detection of chlorides, relative substances, organic residues, loss on drying, combustion residue, and heavy metals, and (4) rupatadine fumarate content measurement via high performance chromatog. and/or nonaq. titration The quality control method has high specificity, stability and accuracy, and is simple in operation.

IT 158876-82-5, Rupatadine

RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(quality control method of rupatadine fumarate)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L10 ANSWER 2 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:927512 ZCAPLUS

DOCUMENT NUMBER: 150:523475

TITLE: Polymorphs of rupatadine fumarate

INVENTOR(S): Darji, Dharmendra Arvindbhai; Patel, Mahesh

Shankarbhai; Kumar, Rajiv; Dwivedi, Shriprakash Dhar

PATENT ASSIGNEE(S): Cadila Healthcare Limited, India

SOURCE: Indian Pat. Appl., 30pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

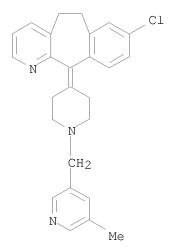
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
DDTO	IN 2006MU01471	 А	20080725		20060915		
AB	RITY APPLN. INFO.:	of rups	tadino fuman	IN 2006-MU1471 ate is characterized by			
AD	powder diffraction.	or rupa	.cadine rumar	ate is characterized by	x-ray		
ΙT	182349-12-8P						
	RL: PRP (Properties); SPN	(Synthetic p	reparation); THU (Thera	peutic use);		
	BIOL (Biological st	udy); P	REP (Prepara	tion); USES (Uses)	-		
	(polymorphs of r	-	ne fumarate)				
RN	182349-12-8 ZCAPLU	-					
CN	5H-Benzo[5,6]cycloh	_					
	· · · · · · · · · · · · · · · · · · ·		_	-3-pyridinyl)methyl]-4-			
	piperidinylidene]-,	(2E)-2	-butenedioat	e (1:1) (CA INDEX NAME)		
	CM 1						
	CRN 158876-82-5						

CMF C26 H26 C1 N3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.



L10 ANSWER 3 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:5893 ZCAPLUS

DOCUMENT NUMBER: 146:128584

New disintegrant tablet formulation of rupatadine TITLE:

Liao, Juan; Chen, Yang INVENTOR(S):

Beijing D-Venturepharm.T. Corp., Peop. Rep. China PATENT ASSIGNEE(S): Faming Zhuanli Shenging Gongkai Shuomingshu, 15pp. SOURCE:

CODEN: CNXXEV

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1883480	A	20061227	CN 2005-10077340	20050622
PRIORITY APPLN. INFO.:			CN 2005-10077340	20050622

The invention provides a new disintegrant tablet formulation of AΒ rupatadine. The composition is composed of rupatadine, excipient, bulking agent, diluting agent, binding agent, disintegrant, lubricant, wetting agent, and sweetening agent. The formulation may be tablet, dispersing tablet, orally disintegrating tablet, and/or capsule. The preparation of tablet comprises, for example, (1) sieving rupatadine fumarate with 100 mesh sieve, magnesium stearate with 60 mesh sieve, other materials with 80 mesh sieve; (2) mixing main drug with lactose, then with other adjuvants; (3) prilling with 10% starch syrup, drying at 50 °C; (4) mixing with magnesium stearate, and pressing to obtain the product.

ΙT 158876-82-5, Rupatadine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

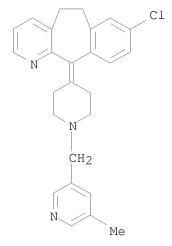
(new disintegrant tablet formulation of rupatadine)

158876-82-5 ZCAPLUS RN

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, CN

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinylidene] - (CA INDEX NAME)



L10 ANSWER 4 OF 4 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1030442 ZCAPLUS

DOCUMENT NUMBER: 145:397370

TITLE: Process for the preparation of a polymorphic

crystalline form of rupatadine free base

INVENTOR(S): Parthasaradhi Reddy, Bandi; Rathnakar Reddy, Kura;

Raji Reddy, Rapolu; Muralidhara Reddy, Dasari; Subash

Chander Reddy, Kesireddy

PATENT ASSIGNEE(S): Hetero Drugs Limited, India

SOURCE: PCT Int. Appl., 15pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.					DATE				
	WO 2006103688					A1 20061005			WO 2005-IN97					20050401					
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:							•	•							HU,		
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			•				•				•						GH,		
			•	•		•	•				•		•				BY,		
			•	MD,	•	•	•	- ,	- ,	- ,	,	,	,	,	,	,	,	- ,	
	ΕP	1863						2007	1212		EP 2	005-	7429	06		2	0050	401	
																	HU,		
			,								PT,						,	,	
	TN	2006															0060	406	
		2009																	
PRIOR																	0050		
ASSIG																			
OTHER												00 2			01	_			
			. ,									bas	e. a	pro	cess	for	its		
	AB A novel crystalline form of rupatadine free base, a process for its preparation, and a pharmaceutical composition containing it are described.																		
Rupat			01011	, an	ч u .	C11GI		acic	a_	opo	5161	011 C	on ca		9 10	ar c	400	J1 1D	- u •
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is suspended in n-hexane, n-heptane, cyclohexane, di-Et ether, or

diisopropyl ether, stirred for at least $1\ h$, the solid filtered and dried to give crystalline rupatadine form B.

IT 182349-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in a process for the preparation of a polymorphic crystalline form of rupatadine free base)

RN 182349-12-8 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

IT 158876-82-5P, Rupatadine

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(process for the preparation of a polymorphic crystalline form of rupatadine free base)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011

L1 STRUCTURE UPLOADED

L2 1 S SAM SSS L1

FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011 S L1

FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011 L3 1 S L1 SSS SAM

FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011 L4 0 S L3 SSS SAM

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011

L5 1 S SAM SSS L1

L6 STRUCTURE UPLOADED

L7 0 S SAM SSS L6 L8 31 S FULL SSS L6

FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011 L9 124 S L8

FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011

FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011 L10 4 S L9 AND (CRYSTAL OR CRYSTALLINE)

=> s 19 and polymorph

11005 POLYMORPH

12989 POLYMORPHS

19151 POLYMORPH

(POLYMORPH OR POLYMORPHS)

L11 1 L9 AND POLYMORPH

=> s 19 and polymorph?

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306775 POLYMORPH?
T<sub>1</sub>12
                5 L9 AND POLYMORPH?
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=> s 112 not 110

L13 3 L12 NOT L10

=> s 19 (L) polymorph? 306775 POLYMORPH?

2 L9 (L) POLYMORPH? L14

=> s 19 (W) polymorph? 306775 POLYMORPH?

L15 1 L9 (W) POLYMORPH?

=> s 114 not 113

2 L14 NOT L13 L16

=> s 114 and 113

0 L14 AND L13

=> s 114 not 110

L18 0 L14 NOT L10

=> d ibib abs hitstr 113 1-3

THE ESTIMATED COST FOR THIS REQUEST IS 17.88 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:y

L13 ANSWER 1 OF 3 ZCAPLUS COPYRIGHT 2011 ACS on STN

2010:785907 ZCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 153:108912

TITLE: Oxepine modulators of h1 receptors and/or inhibitors

of mast cell degranulation

INVENTOR(S): Gant, Thomas G.; Shahbaz, Manouchehr M.

PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA SOURCE: U.S. Pat. Appl. Publ., 51pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					KIND DATE				APPL	ICAT	ION :	DATE				
WO	US 20100160272 WO 2010080577 WO 2010080577			A2		20100715						-	20091218 20091218				
WO							AT,		AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
		ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		KE,	KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
		MD,	ME,	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PE,
		PG,	PH,	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	SM,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,
		ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA	
PRIORIT	Y APP	LN.	INFO	.:						US 2	-800	1385	68P		P 2	0081	218
ASSIGNM	ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT																
	OTHER SOURCE(S): MARPAT 153:108912																
AB The																	

and/or inhibitors of mast cell degranulation, pharmaceutical compns. thereof, and methods of use thereof.

IT 158876-82-5, Rupatadine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (deuterium-enriched oxepine modulators of H1 receptors and/or inhibitors of mast cell degranulation)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

L13 ANSWER 2 OF 3 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:596607 ZCAPLUS

DOCUMENT NUMBER: 152:548261

TITLE: Preparation of deuterated steroid modulators of

glucocorticoid receptor

INVENTOR(S): Gant, Thomas G.; Shahbaz, Manouchehr PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
WO 2010054158 WO 2010054158			A2 A3		20100514 20100819		1	wo 2	009-		20091106						
	W:	AE,	AG,		AM,	AO,	AT, CR,	AU,									
							GH,										
		,	,	,	,	,	KR, MW,	,	,	,	,	,	,	,	,	,	,
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		SK,	SM,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SN,	TD,	ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$,	NΑ,	SD,	SL,	SZ,	TZ,	UG,
		ZM,	ZW,	ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	ΟA	
US	US 20100120733				A1		2010	0513	US 2009-613628						20091106		

PRIORITY APPLN. INFO.: US 2008-112268P P 20081107 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 152:548261

GΙ

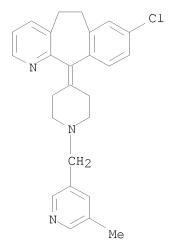
AB The present invention relates to new steroid modulators I [R1 - R31 and R35 - R41 are independently selected from the group consisting of H or deuterium; R32 and R33 are independently selected from the group consisting of Me, CH2D, CHD2, CD3; R34 = OC(:0)CR41(CR35R36R37)(CR38R39R40); and at least one of R1 - R33 and R35 - R41 is deuterium or contains deuterium], or a pharmaceutically acceptable salt thereof, of glucocorticoid receptor activity, pharmaceutical compns. thereof, and methods of use thereof. The physiol. of I was studied using: an in vitro liver microsomal stability assay; an in vitro metabolism assay with human cytochrome P 450 enzymes; and, an assay with monoamine oxidase inhibition and oxidative turnover.

IT 158876-82-5, Rupatadine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination chemotherapy antihistamine; preparation of deuterated steroid modulators of glucocorticoid receptor)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)



L13 ANSWER 3 OF 3 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:42786 ZCAPLUS

DOCUMENT NUMBER: 148:119180

Genetic markers in tachykinin NK1 receptor gene TACR1 TITLE:

that correlate with asthma disorders

INVENTOR(S): Halapi, Eva; Hakonarson, Hakon

PATENT ASSIGNEE(S): Decode Genetics Ehf., USA SOURCE: PCT Int. Appl., 133pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

WO 2008006105 A2 20080110 WO 2007-US73066 20070709 WO 2008006105 A3 20080814 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility	P.	PATENT NO.					KIND DATE				APPL	ICAT	ION :		DATE			
WO 2008006105 A3 20080814 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility	W	0 2008	30061	05							WO 2007-US73066					20070709		
<pre>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,</pre>	M	0 2008	30061	05		A9		2008	0403									
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility	W	0 2008	30061	05		А3		2008	0814									
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ΒG,	BH,	BR,	BW,	BY,	BZ,	CA,
<pre>KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,</pre>			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO.: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO.: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO.: US 2006-819198P P 20060707 OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility			GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
OTHER SOURCE(S): MARPAT 148:119180 AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility																		
AB Polymorphisms in the exon 2 LD block of gene TACR1 encoding tachykinin receptor 1 are shown by association anal. to be a susceptibility	PRIORI	TY APE	LN.	INFO	.:	·	·	·	·		US 2	006-	8191	98P		P 2	0060	707
tachykinin receptor 1 are shown by association anal. to be a susceptibility	OTHER	SOURCE	(S):			MAR	PAT	148:	1191	80								
tachykinin receptor 1 are shown by association anal. to be a susceptibility	AB P	olymor	phis	ms i	n th	e ex	on 2	LD .	bloc	k of	qen	e TA	CR1	enco	ding			
																	scep	tibilit
gene for asthma. Methods of diagnosis of susceptibility to asthma, of																		
decreased susceptibility to asthma and protection against asthma, are	_								_				_		_			
described, as are methods of treatment for asthma.					_		_				_			_			•	
IT 158876-82-5, Rupatadine																		

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (genetic markers in tachykinin NK1 receptor gene TACR1 that correlate with asthma disorders)

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RN 158876-82-5 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-
piperidinylidene]- (CA INDEX NAME)
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=> d his

L10

(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011

L1 STRUCTURE UPLOADED

L2 1 S SAM SSS L1

FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011 S L1

FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011 L3 1 S L1 SSS SAM

FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011 L4 0 S L3 SSS SAM

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011

L5 1 S SAM SSS L1

L6 STRUCTURE UPLOADED

FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011 L9 124 S L8

FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011

FILE 'ZCAPLUS' ENTERED AT 09:06:02 ON 13 APR 2011

4 S L9 AND (CRYSTAL OR CRYSTALLINE)

L11 1 S L9 AND POLYMORPH

L12 5 S L9 AND POLYMORPH?

L13 3 S L12 NOT L10

L14 2 S L9 (L) POLYMORPH?

L15 1 S L9 (W) POLYMORPH?

L16 2 S L14 NOT L13

L17 0 S L14 AND L13 L18 0 S L14 NOT L10

=> s 19/prep

FIELD CODES CANNOT BE CHANGED HERE

You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> s 18/prep

124 L8

5204403 PREP/RL

L19 21 L8/PREP

(L8 (L) PREP/RL)

=> s 119 not 110

L20 19 L19 NOT L10

=> s 120 not 113

L21 19 L20 NOT L13

=> d ibib abs hitstr 17-19

THE ESTIMATED COST FOR THIS REQUEST IS 17.88 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L21 ANSWER 17 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:635179 ZCAPLUS

DOCUMENT NUMBER: 125:275664

ORIGINAL REFERENCE NO.: 125:51553a,51556a

TITLE: 8-Chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-

piperidylidene]-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine fumarate and its

preparation and use as a PAF antagonist and

antihistaminic

INVENTOR(S): Carceller, Elena; Recasens, Nuria; Almansa, Carmen;

Bartroli, Javier; Merlos, Manel; Giral, Marta

PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Spain

SOURCE: Span., 11 pp. CODEN: SPXXAD

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ES 2087818	 A1	19960716	ES 1993-2460		19931124
ES 2087818	В1	19970316			
NO 9404487	A	19950526	NO 1994-4487		19941123
PRIORITY APPLN. INFO	· :		ES 1993-2460	A	19931124
GI					

AB The title salt I-fumarate is prepared for use as an antagonist of PAF (platelet activating factor) and an antihistaminic (no data). I-fumarate has improved hygroscopicity and light stability in comparison to I.3HCl or the free base I. For example, I was prepared from loratadine by a sequence of: hydrolytic removal of the N-ethoxycarbonyl group (84%), N-acylation with 5-methylnicotinic acid using DCC and HOBt (65%), and chlorination/reduction of the amide using POCl3 followed by NaBH4 (72%). Treatment of I with fumaric acid in EtOH gave 70% I-fumarate. When exposed to 98% humidity for 24 h, H2O contents were 5.7% for I, and 28.3% for I.3HCl, but only 0.29% for I-fumarate. Similarly, irradiation at 150 klx for 1 h reduced purities to 92.7% for I, to 74% for I.3HCl, but only to 99.2% for I.fumarate.

IT 158876-82-5P

RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(comparison compound; preparation of benzocycloheptapyridine derivative fumarate

salt as PAF antagonist and antihistaminic with improved properties)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

Ι

IT 156611-76-6P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(comparison compound; preparation of benzocycloheptapyridine derivative fumarate $% \left(\frac{1}{2}\right) =\frac{1}{2}\left(\frac{1}{2}\right) +\frac{1}{2}\left(\frac{1}{$

salt as PAF antagonist and antihistaminic with improved properties)

RN 156611-76-6 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

●3 HCl

IT 182349-12-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzocycloheptapyridine derivative fumarate salt as PAF antagonist and antihistaminic with improved properties)

RN 182349-12-8 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 3

(3 CITINGS)

ZCAPLUS COPYRIGHT 2011 ACS on STN L21 ANSWER 18 OF 19

1994:680552 ZCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 121:280552

ORIGINAL REFERENCE NO.: 121:51219a,51222a

Process for preparation of TITLE:

8-chloro-11-[1-[(5-methyl-3-pyridyl)methyl]-4-

piperidylidene]-6,11-dihydro-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine and analogs as

antihistaminics and PAF antagonists

INVENTOR(S): Carceller, Elena; Recasens, Nuria; Almansa, Carmen;

Almansa, Javier; Merlos, Manuel; Giral, Marta;

Garcia-Rafanell, Julian; Forn, Javier

J. Uriach y Cia S.A., Spain PATENT ASSIGNEE(S):

SOURCE: Span., 18 pp. CODEN: SPXXAD

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2042421	A1	19931201	ES 1992-1054	19920522
ES 2042421	B1	19940801		
CA 2096318	A1	19931123	CA 1993-2096318	19930514
CA 2096318	С	19980623		
US 5407941	A	19950418	US 1993-61720	19930517
EP 577957	A1	19940112	EP 1993-108177	19930519

EP 577	957	B1	19950712			
R:	AT, BE,	CH, DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MC, NL, PT,	SE
JP 060	87856	A	19940329	JP 1993-117427	19930519	
JP 273	0612	В2	19980325			
AT 124	939	T	19950715	AT 1993-108177	19930519	
ES 207	6817	Т3	19951101	ES 1993-108177	19930519	
KR 156	518	B1	19981116	KR 1993-8812	19930521	
US 547	6856	A	19951219	US 1995-391702	19950221	
PRIORITY AP	PLN. INFO.	:		ES 1992-1054	A 19920522	
				US 1993-61720	A1 19930517	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 121:280552
GI

AB Nine title compds. I [R = H, halo, C1-4 alkyl, C1-4 alkoxy] and a salt were prepared and tested. For example, the drug loratadine [II; R1 = C02Et] was treated with Me3SiI in CHCl3 at $55-60^{\circ}$ under Ar to give 77% II (R1 = H). N-alkylation of this by 3-methyl-5-(bromomethyl)pyridine [prepared in situ by NBS bromination of 3,5-lutidine] in CCl4 in the presence of DMAP gave 40% I (R = 5-Me) (III), the most active compound In a test for H1-antihistaminic activity, III was 20 times as potent as the known unsubstituted 4-pyridyl analog, and 25-70 times as potent as loratadine and 2 other carbonyl-containing analogs. In tests of I and the standard compds. for antagonism of platelet activating factor (PAF), only II showed potent activity, being at least 10-fold more active than the other compds.

IT 158876-82-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of [(pyridylmethyl)piperidylidene]benzocycloheptapyridine derivs. as antihistaminics and PAF antagonists)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

IT 156522-82-6P 156522-86-0P 156522-87-1P 156522-88-2P 156522-89-3P 156522-94-0P 156522-95-1P 156611-76-6P 158876-81-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(pyridylmethyl)piperidylidene]benzocycloheptapyridine derivs. as antihistaminics and PAF antagonists)

RN 156522-82-6 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-(3-pyridinylmethyl)-4-piperidinylidene]- (CA INDEX NAME)

RN 156522-86-0 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 11-[1-[(5-bromo-3-pyridinyl)methyl]-4-piperidinylidene]-8-chloro-6,11-dihydro- (CA INDEX NAME)

RN 156522-87-1 ZCAPLUS

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(6-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11-dihydro- (CA INDEX NAME) CN

RN 156522-88-2 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11dihydro- (CA INDEX NAME)

RN 156522-89-3 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(6-methoxy-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-94-0 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(2-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-95-1 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(4-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156611-76-6 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

RN 158876-81-4 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(6-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

L21 ANSWER 19 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:524597 ZCAPLUS

DOCUMENT NUMBER: 121:124597

ORIGINAL REFERENCE NO.: 121:22229a,22232a

TITLE: [(3-Pyridylalkyl)piperidylidene]benzocycloheptapyridin

e Derivatives as Dual Antagonists of PAF and Histamine Carceller, Elena; Merlos, Manuel; Giral, Marta; Balsa,

AUTHOR(S): Carceller, Elena; Merlos, Manuel; Giral, M Dolors; Almansa, Carmen; Bartroli, Javier;

Garcia-Rafanell, Julian; Forn, Javier

CORPORATE SOURCE: Research Center, J. Uriach Cia.S.A., Barcelona, 08026,

Spain

SOURCE: Journal of Medicinal Chemistry (1994), 37(17),

2697-703

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:124597

A series of [(3-pyridylalkyl)piperidylidene] - and (nicotinoylpiperidylidene) benzocycloheptapyridine derivs. were prepared and evaluated for PAF antagonist and H1 antihistamine activity. PAF antagonist activity was investigated by the in vitro PAF-induced platelet aggregation assay (PPA) and the in vivo PAF-induced hypotension test in rats (PH) and mortality test in mice (PM). For the evaluation of H1 antihistamine activity, the in vitro histamine-induced contraction of the guinea-pig ileum assay (HC) and the in vivo histamine-induced hypotension test (HH) in normotensive rats were used. The potential antiallergic activity of the compds. was evaluated using the active anaphylactic shock test in mice. These compds. are structurally related to loratadine (1) and were generated by replacement of the ethoxycarbonyl group of 1 with substituted 3-pyridylmethyl and nicotinoyl moieties. Both anti-PAF and H1 antihistamine activities have shown a high dependence on the exact nature and position of the substituent in the pyridine ring. The optimum structure, incorporating a (5-methyl-3-pyridyl)methyl radical, displayed an unique dual activity inhibiting both PAF-induced effects (PPA, IC50 = 3.7 μM ; PH, ID50 = 0.44 mg/kg i.v.; PM, ID50 = 1.9 mg/kg po) and histamine-induced effects (HC, IC50 = 3.9 nM; HH, ID50 = 1.4 mg/kg i.v.). Furthermore, this compound was highly active in the passive cutaneous anaphylactic shock in rats (ID50 = 1.2 mg/kg po) and strongly protected mice and rats from mortality induced by endotoxin (ID50 = 1.2 and 0.5mg/kg i.v., resp.). It showed itself to be devoid of CNS depressant effects, neither modifying spontaneous motor activity nor prolonging barbiturate-sleeping time in mice at a dose of 100 mg/kg po, and is now under development.

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IT 156522-82-6P 156522-83-7P 156522-86-0P 156522-87-1P 156522-88-2P 156522-89-3P 156522-90-6P 156522-91-7P 156522-92-8P 156522-93-9P 156522-94-0P 156522-95-1P
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RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antihistaminic and PAF-antagonistic activity of, structure in relation to)

RN 156522-82-6 ZCAPLUS

156611-76-6P, UR 12592

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

 $8-chloro-6, 11-dihydro-11-[1-(3-pyridinylmethyl)-4-piperidinylidene]- \qquad (CAINDEX NAME)$

RN 156522-83-7 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(1-oxido-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-86-0 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
11-[1-[(5-bromo-3-pyridinyl)methyl]-4-piperidinylidene]-8-chloro-6,11dihydro- (CA INDEX NAME)

RN 156522-87-1 ZCAPLUS

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(6-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11-dihydro- (CA INDEX NAME) CN

RN 156522-88-2 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-11-[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinylidene]-6,11dihydro- (CA INDEX NAME)

RN 156522-89-3 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(6-methoxy-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-90-6 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(2-methoxy-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-91-7 ZCAPLUS

CN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]methyl]-, methyl ester, hydrochloride (1:3) (CA INDEX NAME)

RN 156522-92-8 ZCAPLUS

CN 3-Pyridinecarboxylic acid, 5-[[4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]methyl]- (CA INDEX NAME)

RN 156522-93-9 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(6-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)

RN 156522-94-0 ZCAPLUS

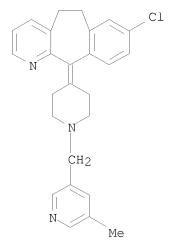
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(2-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156522-95-1 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(4-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

RN 156611-76-6 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HC1

OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

=> d ibib abs hitstr 6-16 THE ESTIMATED COST FOR THIS REQUEST IS 65.56 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L21 ANSWER 6 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:848861 ZCAPLUS

DOCUMENT NUMBER: 150:398361

TITLE: Process for preparation of highly pure Rupatadine and

intermediates

INVENTOR(S): Patel, Mahesh Shankarbhai; Kumar, Rajiv; Dwivedi,

Shriprakash Dhar

PATENT ASSIGNEE(S): Cadila Healthcare Limited, India

SOURCE: Indian Pat. Appl., 28pp.

CODEN: INXXBO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2006MU00864	A	20080704	IN 2006-MU864	20060605
PRIORITY APPLN. INFO.:			IN 2006-MU864	20060605
	~- ~			

OTHER SOURCE(S): CASREACT 150:398361; MARPAT 150:398361

AB This invention provides a process for the preparation of ACH2OSO2R [wherein A = 5-methyl-3-pyridyl; R = Me, Et, Pr, iso-Pr, Bu, iso-Bu, benzyl, tolyl,

etc.] as intermediates for synthesizing highly pure Rupatadine. For example, Me 5-methylnicotinate was reduced with sodium borohydride to obtain 5-methyl-3-pyridylmethanol, followed by reaction with 4-methylbenzenesulfonyl chloride to give 5-methyl-3-pyridinemethanol tosylate. The previous obtained sulfonate was reacted with Desloratadine in acetone at 30-35 °C to give Rupatadine.

IT 158876-82-5P, Rupatadine

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of highly pure Rupatadine and intermediates)
RN 158876-82-5 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 110-17-8

Double bond geometry as shown.

L21 ANSWER 7 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1468011 ZCAPLUS

DOCUMENT NUMBER: 148:262449

TITLE: Expedient synthesis of rupatadine

AUTHOR(S): Agarwal, Rajendra; Bhirud, Shekhar Bhaskar; Bijukumar,

Gopinathenpillai; Khude, Gopal Dnyandev

CORPORATE SOURCE: Research and Development Centre, Chemical Process

Research and Development, Macleods Pharmaceuticals

Ltd., Mumbai, India

SOURCE: Synthetic Communications (2008), 38(1), 122-127

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Taylor & Francis, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:262449

AB Rupatadine, a new potent, orally active dual antagonist of histamine and platelet-activating factor (PAF), was synthesized in 91% overall yield.

IT 158876-82-5P, Rupatadine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of rupatadine starting from methylnicotinic acid)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6, 11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-[-1-[(5-methyl-3-pyridinyl)methyl-3-[-1-[(5-methyl-3-pyridinyl)methyl-3-[-1-[(5-methyl-3-pyridinyl)methyl-3-[-1-[(5-methyl-3-pyridinyl)methyl-3-[-1-[(5-methyl-3-pyridinyl)methyl-3-[-1-[(5-methyl-3-pyridinyl)methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl-3-[-1-[(5-methyl

piperidinylidene] - (CA INDEX NAME)

IT 182349-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

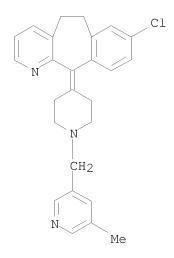
(preparation of rupatadine starting from methylnicotinic acid)

RN 182349-12-8 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CRN 158876-82-5 CMF C26 H26 C1 N3



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1460686 ZCAPLUS

DOCUMENT NUMBER: 149:513665

TITLE: Synthesis of 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-

pyridinyl)methyl]-4-piperidinylidene]-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine (rupatadine)

AUTHOR(S): Zhang, Wanjin; Luo, Yan; Zhang, Yanmei

CORPORATE SOURCE: Dept. of Medicinal Chemistry, Guangdong Pharmaceutical

College, Guangzhou, Guangdong Province, 510224, Peop.

Rep. China

SOURCE: Zhongguo Yiyao Gongye Zazhi (2006), 37(7), 433-435

CODEN: ZYGZEA; ISSN: 1001-8255

PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu

DOCUMENT TYPE: Journal LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 149:513665

AB Rupatadine was synthesized from 2-cyano-3-methylpyridine by a synthetic sequence involving a Ritter reaction, alkylation, cyanidation, hydrolysis and cyclization to give 8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one, which was subjected to Grignard reaction and then dehydration with an overall yield of 18.7%.

IT 158876-82-5P, Rupatadine

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of rupatadine via synthetic sequence involving Ritter reaction,

alkylation, cyanation, hydrolysis, cyclization, formation of

chlorodihydrobenzo[5,6]cyclohepta[1,2-b]pyridinone, Grignard reaction

and dehydration)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinylidene] - (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L21 ANSWER 9 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:655783 ZCAPLUS

DOCUMENT NUMBER: 148:426751

TITLE: Process for the synthesis of rupatadine

INVENTOR(S): Rajendra, Agarwal; Gopinathan, Pillai Bijukumar;

Dnyandev, Khude Gopal; Bhaskar, Bhirud Shekhar

PATENT ASSIGNEE(S): MacLeods Pharmaceuticals Limited, India

SOURCE: Indian Pat. Appl., 14pp.

CODEN: INXXBO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2006MU02102 PRIORITY APPLN. INFO.:	A	20070608	IN 2006-MU2102 IN 2006-MU2102	20061222 20061222

OTHER SOURCE(S): CASREACT 148:426751

AB An improved and industrially feasible process for the preparation of 8-chloro-6,1-dihydro-11-[1-[(methyl-3-pyridinyl)methyl]-4-piperidinylidene]-5H-benzo[5,6]cyclohepta[1,2b]pyridine (rupatadine). Rupatadine was prepared by esterification of 5-methylnicotinic acid; the resulting 5-methylnicotinate underwent reduction to give 5-methylpyridine-3-methanol, which underwent chlorination to give the corresponding chloromethylpyridine, which underwent condensation with desloratadine to give rupatadine, which was reacted with fumaric acid to give rupatadine fumarate.

IT 158876-82-5P, Rupatadine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the synthesis of rupatadine)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

CRN 158876-82-5 CMF C26 H26 C1 N3

1

CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L21 ANSWER 10 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1236016 ZCAPLUS

DOCUMENT NUMBER: 146:45400

TITLE: Method for preparation of Rupatadine and its salt

INVENTOR(S): Qu, Feng; Wang, Yusheng

PATENT ASSIGNEE(S): Beijing Dezhong-Venture Pharmaceutical Technology Co.,

Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	API	PLICATION NO.	DATE
CN 1865259	A	20061122	CN	2005-10070952	20050519
CN 1865259	В	20100929			
PRIORITY APPLN. INFO.:			CN	2005-10070952	20050519
OTHER SOURCE(S):	CASREA	CT 146:45400			
GI					

AB In this invention, Rupatadine is prepared by the reduction of I amide carbonyl group with Red-Al in THF, toluene, or DMF. Rupatadine can be obtained by reducing the amido bonds in the mols. of the compound or its salt in formula II in the presence of Red-Al.

IT 158876-82-5P, Rupatadine

Ι

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of Rupatadine via the reduction of amide carbonyl group with Red-Al)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L21 ANSWER 11 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1147779 ZCAPLUS

DOCUMENT NUMBER: 145:471404

TITLE: Process for the preparation of rupatadine by

PTC-catalyzed N-alkylation of desloratadine

INVENTOR(S): Khamar, Bakulesh Mafatlal; Modi, Indravadan Ambalal;

Chandrakant, Shukla Manish; Kashyapbhai, Parikh Krunal; Prabhakar, Dange Suryabhan; Ravi, Ponniah;

Jagdish, Desai Sanjay; Raman, J. Venkat

PATENT ASSIGNEE(S): Mafatlal, Khamar, Bakulesh, India; Ambalal, Modi,

Indravadan; Chandrakant, Shukla, Manish; Kashyapbhai, Parikh, Krunal; Prabhakar, Dange, Suryabhan; Jagdish,

Desai, Sanjay; Raman, J., Venkat

SOURCE: PCT Int. Appl., 10 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT:	ENT	NO.			KIN	D	DATE		APPLICATION NO.					DATE			
		 1146 1146			A2 A3		20061102 WO 2006-IB964 20070125				20060422						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		•	•	•	•	•	DE,	•	•	•	•	•	•	•	•	•	•
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AΖ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$										
IN.	2005	MU00	516		Α		2009	0626		IN 2005-MU516					20050427		
ES.	2311	426			A1		2009	0201	201 ES 2007-50036 20060422				422				
ES.	2311	426			В1		2009	1222									

PRIORITY APPLN. INFO.: IN 2005-MU516 A 20050427

OTHER SOURCE(S): CASREACT 145:471404

AB A process for the preparation of rupatadine, a potent orally active dual antagonist of platelet-activated factor and histamine, which comprises N-alkylating desloratadine with 3-(bromomethyl)-5-methylpyridine (I) or analogs in biphasic solvent systems, is disclosed. For instance, a mixture of desloratadine, dichloromethane, tetrabutylammonium bromide and NaOH aqueous solution is cooled to $0-5^{\circ}\mathrm{C}$. After a mixture of I·HCl in dichloromethane was added, the whole was stirred at $0-5^{\circ}\mathrm{C}$ for 1 h and then at rt for 12 h to give rupatadine in 67.66% yield. A solution of this product in acetone was stirred with a solution of fumaric acid in methanol to afford rupatadine fumarate.

IT 158876-82-5P, Rupatadine

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of rupatadine via PTC-catalyzed N-alkylation of desloratadine in biphasic solvent systems)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)

IT 913746-24-4P 913746-25-5P 913746-26-6P 913746-27-7P 913746-28-8P 913746-29-9P 913746-30-2P 913746-31-3P 913746-32-4P 913746-33-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of rupatadine via PTC-catalyzed N-alkylation of desloratadine in biphasic solvent systems)

RN 913746-24-4 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 913746-25-5 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, hydrobromide (1:?) (CA INDEX NAME)

•x HBr

RN 913746-26-6 ZCAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)
CM 1

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 913746-27-7 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 75-75-2 CMF C H4 O3 S

RN 913746-28-8 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, benzenesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 913746-29-9 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} {\rm CO_2H} \\ {\rm HO_2C-CH_2-C-CH_2-CO_2H} \\ {\rm OH} \end{array}$$

RN 913746-30-2 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 913746-31-3 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, sulfate (1:?) (CA INDEX NAME)

CM 1

CRN 7664-93-9 CMF H2 O4 S

CN

RN 913746-32-4 ZCAPLUS

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-, phosphate (9CI) (CA INDEX NAME)

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 913746-33-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 158876-82-5 CMF C26 H26 C1 N3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 12 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:620660 ZCAPLUS

DOCUMENT NUMBER: 146:142463

TITLE: Improved synthesis of 5-methylpyridine-3-carboxylic

acid, the intermediate of rupatadine

AUTHOR(S): Wang, Zhen-yu; Zhu, Xiong; Wang, Er-hua

CORPORATE SOURCE: Medicinal and Chemical Institute, China Pharmaceutical

University, Nanjing, 210009, Peop. Rep. China

SOURCE: Yaoxue Jinzhan (2005), 29(1), 31-33

CODEN: YJAIBE; ISSN: 1001-5094

PUBLISHER: Yaoxue Jinzhan Bianjibu

DOCUMENT TYPE: Journal LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 146:142463

AB Objective: To improve the synthesis of 5-methylpyridine-3-carboxylic acid.

Methods: 5-methylpyridine-3-carboxylic acid, the intermediate of

Rupatadine, was synthesized from 3,5-lutidine by the reaction of oxidation with KMnO4. The reaction conditions were optimized with the orthogonal matrix. Results: The suitable conditions were obtained, and the yield was 51%.

IT 158876-82-5P, Rupatadine

RL: SPN (Synthetic preparation); PREP (Preparation)

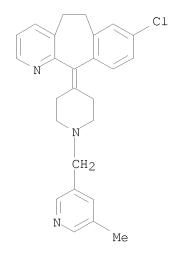
(synthesis of 5-methylpyridine-3-carboxylic acid as intermediate of rupatadine)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinylidene] - (CA INDEX NAME)



L21 ANSWER 13 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:515027 ZCAPLUS

DOCUMENT NUMBER: 144:369863

TITLE: Synthesis of Rupatadine AUTHOR(S): Xin, Shiu-bo; Wu, Fan-hong

CORPORATE SOURCE: College of Chemistry and Pharmaceutics, East China

University of Science and Technology, Shanghai,

200237, Peop. Rep. China

SOURCE: Zhongguo Xinyao Zazhi (2005), 14(4), 451-452

CODEN: ZXZHA6; ISSN: 1003-3734

PUBLISHER: Zhongguo Xinyao Zazhishe

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB Rupatadine was prepared from Loratadine via hydrolysis and alkylation to

provide the product with overall yield 32.4%.

IT 158876-82-5P, Rupatadine

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of Rupatadine)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-

piperidinylidene] - (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L21 ANSWER 14 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:652131 ZCAPLUS

DOCUMENT NUMBER: 139:214237

TITLE: Preparation of nitrate prodrugs able to release nitric

oxide in a controlled and selective way and their use for prevention and treatment of inflammatory, ischemic

and proliferative diseases

INVENTOR(S): Scaramuzzino, Giovanni

PATENT ASSIGNEE(S): Italy

SOURCE: Eur. Pat. Appl., 313 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATE	I TN:	.OV			KIN	D	DATE APPLICATION NO.						DATE				
							_									_		
E)	P 1	.336	602			A1		2003	0820		EP 2	002-	4250	75		2	0020	213
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
DDTADT	TV	ADD.	TAT :	TNEO							ED 2	002	1250	75		2	0020	212

PRIORITY APPLN. INFO.: EP 2002-425075 20020213

AΒ New pharmaceutical compds. of general formula F-(X)q (I) [q=1-5,preferably 1; F is chosen among drugs such as δ -tocopherol, clidanac, diethylhomospermine, glucosamine, thymocartin, vofopitant, etc.; X is chosen among 4 groups M, T, V, and Y where M = ONO2, nitrate salt, nitrite ester, ONO, thoinitrite, SNO, etc., T = OR1-M, OR1OR1-M, SR1NR2R1-M, NR2R1-M, NR2R1SR1-M, etc., R1 = saturated or unsatd., linear or branched alkylene, having 1 to 21 carbon atoms or a saturated or unsatd., optionally heterosubstituted or branched cycloalkylene, having 3 to 7 carbon atoms or an optionally heterosubstituted arylene having 3 to 7 carbon atoms; R2 = H, saturated or unsatd., linear or branched 1-21 carbon atom alkyl, saturated or unsatd. optionally heterosubstituted or branched 3-7 carbon cycloalkyl, optionally heterosubstituted 3-7 carbon aryl; R1, R2 = OH, SH, F, Cl, Br, OPO3H2, CO2H, etc.; bond between F and T = carboxylicester, carboxylic amide, glycoside, azo, thioester, sulfonic ester, etc.; V = Z-M2, OZ-M2, NR2Z-M2, R1Z-M2, OR1-M2, OR1Z-M2, M2 = M, R1-M, OR1-M, SR1-M, NR2R1-M; ZM2 = COCH2CH(M2)CH2N+Me3, COCH2CH2COM2, COCH(NHR2)CH2M2, etc.; Y = 4-COC6H4CH2ONO2, O(CH2)4ONO2, COCH(NH2)CH2ONO2, 3-OC6H4CH2ONO2, etc.] were prepared For example, α -tocopherol reacted with 4-HO2CC6H4CH2ONO2 to give the nitroxymethyl derivative II. The compds. of general formula I are nitrate prodrugs which can release nitric oxide in vivo in a controlled and selective way and without hypotensive side effects and for this reason they are useful for the preparation of medicines for prevention and treatment of inflammatory, ischemic, degenerative and proliferative diseases of musculoskeletal, tegumental, respiratory, gastrointestinal, genito-urinary and central nervous systems.

ΙT 586349-06-6P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

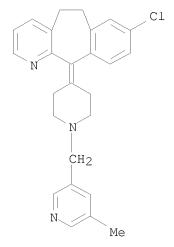
(preparation of nitrate prodrugs for treating or preventing inflammatory, ischemic, degenerative, and proliferative diseases)

586349-06-6 ZCAPLUS RN

> 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]-, nitrate (1:?) (CA INDEX NAME)

CM 1

CN



CRN 7697-37-2 н и оз CMF



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS

RECORD (19 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

1999:579665 ZCAPLUS ACCESSION NUMBER:

131:184874 DOCUMENT NUMBER: TITLE: Preparation of

> 8-chloro-6,11-dihydro-11[1-[(5-methyl-3pyridinyl)methyl]-4-piperidinylidene]-5H-

benzo[5,6]cyclohepta[1,2-b]pyridine

INVENTOR(S): Carceller, Elena; Jimenez, Perez J.; Salas, Jordi

J. Uriach & Cia. S. A., Spain PATENT ASSIGNEE(S):

SOURCE: Span., 10 pp. CODEN: SPXXAD

DOCUMENT TYPE: Patent LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2120899	A1	19981101	ES 1996-2107	19961007
ES 2120899	В1	19990616		
PRIORITY APPLN. INFO.:			ES 1996-2107	19961007
GT				

Ι

AB UR-12592 (I) was prepared by coupling
8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one with
N-[(5-methyl-3-pyridinyl)methyl]-4-chloropiperidine and dehydrating with
H2SO4.

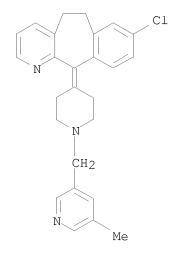
IT 158876-82-5P, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 8-chloro-6,11-dihydro-11[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-5H-benzo[5,6]cyclohepta[1,2-b]pyridine (UR-12592))

RN 158876-82-5 ZCAPLUS

CN

5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4piperidinylidene]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L21 ANSWER 16 OF 19 ZCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1997:30150 ZCAPLUS

DOCUMENT NUMBER: 126:69591

ORIGINAL REFERENCE NO.: 126:13317a,13320a

TITLE: Rupatadine fumarate. UR-12592 fumarate. Antiallergic.

Histamine and PAF antagonist

AUTHOR(S): Garcia-Rafanell, J.

CORPORATE SOURCE: J. Uriach and Cia., Barcelona, 08026, Spain SOURCE: Drugs of the Future (1996), 21(10), 1032-1036

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review, with 21 refs., describing the synthesis, pharmacol. actions,

pharmacokinetics, toxicity, and clin. uses of the title drug. IT 158876-82-5P

IT 158876-82-5P
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation);

PROC (Process); USES (Uses)

(preparation and pharmacol. of)

RN 158876-82-5 ZCAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,

8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

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(FILE 'HOME' ENTERED AT 08:43:03 ON 13 APR 2011)

FILE 'REGISTRY' ENTERED AT 08:43:36 ON 13 APR 2011

L1 STRUCTURE UPLOADED

L2 1 S SAM SSS L1

FILE 'ZCAPLUS' ENTERED AT 08:45:51 ON 13 APR 2011 S L1

FILE 'REGISTRY' ENTERED AT 08:46:00 ON 13 APR 2011 L3 1 S L1 SSS SAM

FILE 'ZCAPLUS' ENTERED AT 08:46:00 ON 13 APR 2011 L4 0 S L3 SSS SAM

FILE 'REGISTRY' ENTERED AT 08:46:10 ON 13 APR 2011

L5 L6 L7 L8	1 S SAM SSS L1 STRUCTURE UPLOADED 0 S SAM SSS L6 31 S FULL SSS L6							
L9	FILE 'ZCAPLUS' ENTERED AT 08:51:03 ON 13 APR 2011 124 S L8							
	FILE 'REGISTRY' ENTERED AT 09:04:47 ON 13 APR 2011							
L10 L11 L12 L13 L14 L15 L16 L17 L18 L19 L20 L21	1 S L9 (W) POLYMORPH? 2 S L14 NOT L13 0 S L14 AND L13 0 S L14 NOT L10 21 S L8/PREP 19 S L19 NOT L10	- · - -						
=> exit ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:y								
	IN U.S. DOLLARS		SESSION					
FULL	ESTIMATED COST	149.04	355.27					
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CAB	ODSOLIDER INTOE	-10.27	-10.21					

STN INTERNATIONAL LOGOFF AT 09:27:45 ON 13 APR 2011